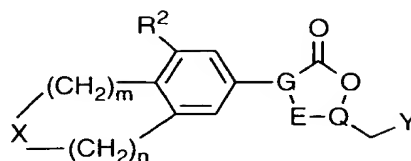


## CLAIMS

We claim:

1. A compound of formula I



I

or a pharmaceutically acceptable salt thereof wherein

Y is

- a)  $\text{-NHC(=W)R}^1$ ,
- b)  $\text{-O-het}$ ,  $\text{-S-het}$ , or  $\text{-NH-het}$ ;

10 X is

- a)  $\text{-O-}$ ,
- b)  $\text{-NR}^3\text{-}$ ,
- c)  $\text{-S(=O)}_i\text{-}$ , or
- d)  $\text{-S(=O)(=NR}^4\text{)-}$ ;

15 W is

- a) O, or
- b) S;

$\text{R}^1$  is

- a) H,
- 20 b)  $\text{C}_{1-8}\text{alkyl}$ ,
- c)  $\text{C}_{3-6}\text{cycloalkyl}$ ,
- d)  $\text{OC}_{1-4}\text{alkyl}$ ,
- e)  $\text{SC}_{1-4}\text{alkyl}$ ,
- f)  $\text{NH}_2$ ,
- 25 g)  $\text{NHC}_{1-6}\text{alkyl}$ , or
- h)  $\text{N(C}_{1-6}\text{alkyl)}_2$ ;

$\text{R}^2$  is

- a) H,
- b) halo, or
- 30 c)  $\text{C}_{1-4}\text{alkyl}$ ;

$\text{R}^3$  is

- 5
- a) H,
  - b) C<sub>1-8</sub>alkyl,
  - c) aryl,
  - d) het,
  - e) C(=W)R<sup>5</sup>,
  - f) C(=O)OR<sup>6</sup>, or
  - g) S(=O)<sub>i</sub>R<sup>7</sup>;

R<sup>4</sup> is

- 10
- a) H, or
  - b) C<sub>1-8</sub>alkyl;

R<sup>5</sup> is

- 15
- a) H,
  - b) aryl,
  - c) het,
  - d) NR<sup>8</sup>R<sup>9</sup>, or
  - e) C<sub>1-8</sub>alkyl;

R<sup>6</sup> is

- 20
- a) C<sub>1-8</sub>alkyl,
  - b) aryl, or
  - c) het;

R<sup>7</sup> is

- 25
- a) aryl,
  - b) het,
  - c) NR<sup>8</sup>R<sup>9</sup>, or
  - d) C<sub>1-8</sub>alkyl;

R<sup>8</sup> and R<sup>9</sup> are independently

- a) H,
- b) C<sub>1-8</sub>alkyl, or
- c) aryl;

30 wherein >G-E- is >N-C- and Q is a carbon atom, or >G-E is >C=C- and Q is a nitrogen atom;

aryl is a phenyl radical or an ortho-fused bicyclic carbocyclic radical wherein at least one ring is aromatic;

het is a C-linked five- (5) or six- (6) membered saturated or unsaturated heterocyclic ring having 1, 2, or 3 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, which is optionally fused to a benzene ring;

at each occurrence, alkyl or cycloalkyl is optionally substituted with one or more  $\text{OR}^8$ ,

- 5 halo, aryl,  $\text{S(=O)}_i\text{R}^7$ ,  $\text{C(=W)R}^8$ ,  $\text{OC(=O)C}_{1-6}\text{alkyl}$ , or  $\text{NR}^8\text{R}^9$ ;

at each occurrence, aryl is optionally substituted with one or more halo, OH,  $\text{CF}_3$ ,

$\text{OC}_{1-6}\text{alkyl}$ , CN,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{S(=O)}_i\text{R}^7$ ,  $\text{C(=W)R}^8$ ,  $\text{OC(=O)R}^8$ ,  $\text{NHC(=O)R}^8$ , or  $\text{NR}^8\text{R}^9$ ;

at each occurrence, het is optionally substituted with one or more halo, OH,  $\text{CF}_3$ ,

$\text{OC}_{1-6}\text{alkyl}$ , CN,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{S(=O)}_i\text{R}^7$ ,  $\text{C(=W)R}^8$ ,  $\text{OC(=O)R}^8$ ,  $\text{NHC(=O)R}^8$ , or  $\text{NR}^8\text{R}^9$ ,

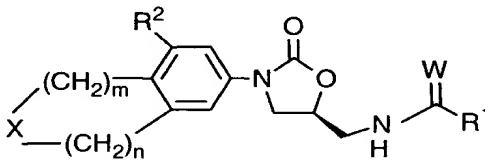
- 10 oxo, or oxime;

m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4; with the proviso that m and n taken together are 3 or 4; and

i is 0, 1, or 2.

- 15 2. A compound of claim 1 which is a compound of formula IA:



IA.

3. A compound of claim 2 wherein  $\text{R}^2$  is H.
- 20 4. A compound of claim 2 wherein  $\text{R}^1$  is  $\text{C}_{1-6}\text{alkyl}$ .
5. A compound of claim 2 wherein  $\text{R}^1$  is methyl.
- 25 6. A compound of claim 4 wherein X is  $\text{NR}^3$ .
7. A compound of claim 6 wherein  $\text{R}^3$  is  $\text{C(=O)R}^5$ , or  $\text{C(=O)OR}^5$ .
8. A compound of claim 6 wherein  $\text{R}^3$  is  $\text{C(=O)CH}_2\text{OH}$ .
- 30 9. A compound of claim 6 wherein  $\text{R}^3$  is CHO.

10. A compound of claim 7 wherein  $R^5$  is  $C_{1-4}$ alkyl, optionally substituted with  $C(=O)C_{1-4}$ alkyl,  $OC(=O)C_{1-4}$ alkyl,  $C(=O)$ phenyl, or phenyl, wherein said phenyl is optionally substituted with I, or  $CF_3$ .

5

11. A compound of claim 7 wherein  $R^5$  is phenyl.

12. A compound of claim 6 wherein  $R^3$  is  $C(=S)R^5$ , wherein  $R^5$  is aryl, alkyl or  $NR^8R^9$ , wherein  $R^8$  and  $R^9$  are independently H,  $C_{1-4}$ alkyl or aryl.

10

13. A compound of claim 6 wherein  $R^3$  is  $S(=O)_iC_{1-4}$ alkyl,

14. A compound of claim 6 wherein  $R^3$  is H,  $C_{1-8}$ alkyl, or aryl, .

15. A compound of claim 6 or 7 wherein m is 1 and n is 3.

16. A compound of claim 6 or 7 wherein m is 2 and n is 2.

17. A compound of claim 6 or 7 wherein m is 0 and n is 4.

20

18. A compound of claim 6 or 7 wherein m is 1 and n is 2.

19. A compound of claim 6 or 7 wherein m is 2 and n is 1.

25. A compound of claim 4 wherein X is S, SO, or  $SO_2$ .

21. A compound of claim 4 wherein X is O.

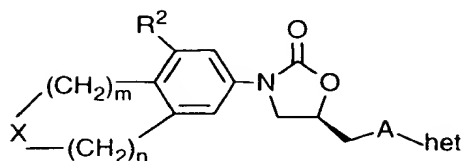
22. A compound of claim 20 or 21 wherein m is 1 and n is 2.

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23. A compound of claim 20 or 21 wherein m is 2 and n is 1.

24. A compound of claim 20 or 21 wherein m is 2 and n is 2.

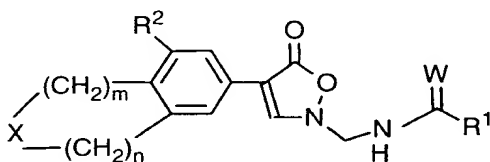
25. A compound of claim 1 which is a compound of formula IB:



IB

- wherein A is O, S or NH and het is isoxazol-3-yl, isoxazol-5-yl, 1,2,4-oxadiazol-3-yl,  
5 isothiazol-3-yl, 1,2,4-thiadiazol-3-yl or 1,2,5-thiadiazol-3-yl.

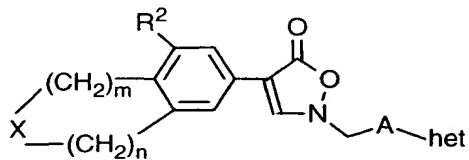
26. A compound of claim 1 which is a compound of formula IC:



IC.

10

27. A compound of claim 1 which is a compound of formula ID



ID

- wherein A is O, S or NH and het is isoxazol-3-yl, isoxazol-5-yl, 1,2,4-oxadiazol-3-yl,  
15 isothiazol-3-yl, 1,2,4-thiadiazol-3-yl or 1,2,5-thiadiazol-3-yl.

28. A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of claim 1.

- 20 29. The method of claim 28 wherein said compound is administered orally, parenterally, transdermally, or topically.

30. The method of claim 28 wherein said compound is administered in an amount of from about 0.1 to about 150 mg/kg of body weight/day.

25

31. The method of claim 28 wherein said compound is administered in an amount of from about 3 to about 100 mg/kg of body weight/day.

32.

33. The method of claim 28 wherein said infection is skin infection.

5

33. The method of claim 28 wherein the infection is eye infection.

34. A pharmaceutical composition comprising the compound of claim 1 and a pharmaceutically acceptable carrier.

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35. The method of claim 28 wherein said compound is administered in an amount of 600mg per day by IV or by oral.

36. The method of claim 22 wherein said mammal is human or an animal.

15

37. A compound of claim 1 which is

- a) (-)-methyl 6-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-3,4-dihydro-2(1*H*)-isoquinolinecarboxylate,
- b) (-)-N-[[[(5S)-3-[2-formyl-1,2,3,4-tetrahydro-6-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]acetamide,
- 20 c) (-)-N-[[[(5S)-3-[2-[(acetyloxy)acetyl]-1,2,3,4-tetrahydro-6-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]acetamide,
- d) (-)-N-[[[(5S)-3-[2-[(hydroxy)acetyl]-1,2,3,4-tetrahydro-6-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]acetamide,
- 25 e) (+)-methyl 6-[(5S)-5-[(ethanethiolyamino)methyl]-2-oxo-3-oxazolidinyl]-3,4-dihydro-2(1*H*)-isoquinolinecarboxylate,
- f) (+)-N-[[[(5S)-3-[2-formyl-1,2,3,4-tetrahydro-6-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]ethanethioamide, or
- g) (+)-N-[[[(5S)-3-[2-[(hydroxy)acetyl]-1,2,3,4-tetrahydro-6-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]ethanethioamide.
- 30

38. A compound of claim 1 which is

- a) (+)-N-[[[(5S)-3-[2-formyl-1,2,3,4-tetrahydro-7-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]ethanethioamide, or

- b) (+)-N-[[[(5S)-3-[2-[(hydroxy)acetyl]-1,2,3,4-tetrahydro-7-isoquinolinyl]-2-oxo-5-oxazolidinyl]methyl]ethanethioamide.

39. A compound of claim 1 which is

- 5 a) (-)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzopyran-6-yl)-2-oxo-5-oxazolidinyl]methyl]acetamide,
- b) (+)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzopyran-6-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide,
- c) (-)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzothiopyran-6-yl)-2-oxo-5-oxazolidinyl]methyl]acetamide,
- 10 d) (+)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzothiopyran-6-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide, or
- e) (+)-N-[[[(5S)-3-(3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-6-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide.

15

40. A compound of claim 1 which is

- a) (+)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzopyran-7-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide,
- b) (-)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzothiopyran-7-yl)-2-oxo-5-oxazolidinyl]methyl]acetamide,
- 20 c) (+)-N-[[[(5S)-3-(3,4-dihydro-1H-2-benzothiopyran-7-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide,
- d) (+)-N-[[[(5S)-3-(3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-7-yl)-2-oxo-5-oxazolidinyl]methyl]ethanethioamide, or
- 25 e) N-[[[(5S)-3-(3,4-dihydro-2-oxido-1H-2-benzothiopyran-7-yl)-2-oxo-5-oxazolidinyl]methyl]acetamide.

41. A compound of claim 1 which is

- a) N-[[[(5S)-3-(3-formyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- 30 b) N-[[[(5S)-3-(3-glycoloyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- c) benzyl 7-{(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl}-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxylate,

- d) N-[[[(5S)-3-(3-glycoloyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide,
- e) N-[[[(5S)-3-(3-acetyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- 5 f) methyl 7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxylate,
- g) N-[[[(5S)-3-(3-benzoyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- h) N-[(5S)-3-[3-(5-amino-1,3,4-thiadiazol-2-yl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide
- 10 i) N-[(5S)-3-[3-(methylsulfonyl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- j) N-[(5S)-3-[3-(5-methylthio-1,3,4-thiadiazol-2-yl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- 15 k) N-[(5S)-3-[3-(5-methyl-1,3,4-thiadiazol-2-yl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- l) phenyl 7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,2,4,5-tetrahydro-3H-3-benzazepine-3-carboxylate,
- m) N-[(5S)-3-[3-(phenyl)acetyl-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- 20 o) N-[(5S)-3-[3-[5-(formylamino)-1,3,4-thiadiazol-2-yl]-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- p) N-[5-(7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-1,3,4-thiadiazol-2-yl]-2-hydroxyacetamide,
- 25 q) N-[(5S)-3-[3-[(4-iodophenyl)acetyl]-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- r) N-[(5S)-3-[3-[(3-trifluoromethyl)phenyl]acetyl]-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,
- s) 2-(7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2-oxoethyl 4-[(dimethylamino)methyl]benzoate,
- 30 t) N-[(5S)-3-[3-[(4-trifluoromethyl)phenyl]acetyl]-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide,



- u) N-({(5S)-2-oxo-3-[3-(5-oxopentanoyl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1,3-oxazolidin-5-yl}methyl)acetamide,
- v) N-({(5S)-2-oxo-3-[3-(5-oxohexanoyl)-1,2,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1,3-oxazolidin-5-yl}methyl)acetamide,
- 5 x) N-[(5S)-3-(2-formyl-1,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl}acetamide,
- w) N-[(5S)-3-(2-glycoloyl-1,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl}acetamide,
- x) benzyl 7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,3,4,5-tetrahydro-3H-2-benzazepine-2-carboxylate,
- 10 y) N-[(5S)-3-(2-acetyl-1,3,4,5-tetrahydro-1H-2-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl}acetamide,
- z) methyl 7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,3,4,5-tetrahydro-3H-2-benzazepine-2-carboxylate,
- 15 aa) 7-[(5S)-5-[(acetylamino)methyl]-2-oxo-1,3-oxazolidin-3-yl]-N-phenyl-1,3,4,5-tetrahydro-2H-2-benzazepine-2-carboxamide,
- bb) N-[(5S)-3-(1-formyl-2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl}acetamide, or
- cc) N-[(5S)-3-(1-formyl-2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)-2-oxo-1,3-oxazolidin-5-yl]methyl}ethanethioamide.
- 20
42. A compound of claim 1 which is
- a) N-[(5S)-2-oxo-3-(1,2,4,5-tetrahydro-3-benzothiepin-7-yl)-5-oxazolidinyl]methyl}acetamide,
- 25 b) N-[(5S)-2-oxo-3-(1,2,4,5-tetrahydro-3,3-dioxido-3-benzothiepin-7-yl)-5-oxazolidinyl]methyl}acetamide,
- c) N-[(5S)-2-oxo-3-(1,2,4,5-tetrahydro-3-benzothiepin-7-yl)-5-oxazolidinyl]methyl}ethanethioamide, or
- d) N-[(5S)-2-oxo-3-(1,2,4,5-tetrahydro-3,3-dioxido-3-benzothiepin-7-yl)-5-oxazolidinyl]methyl}ethanethioamide.
- 30